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STN- STRUCTURE SEARCH

10/12/04

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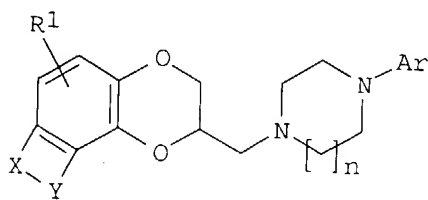
L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2004:589245 CAPLUS
 DOCUMENT NUMBER: 141:123658
 TITLE: Preparation of antidepressant arylpiperazine derivatives of heterocycle-fused benzodioxans
 INVENTOR(S): Evrard, Deborah Ann; Zhou, Dahui; Stack, Gary Paul; Venkatesan, Aranapakam Madumbai; Failli, Amedeo A.; Croce, Susan Christman
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 30 pp., Cont.-in-part of U.S. Provisional Ser. No. 410,082.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004142926	A1	20040722	US 2003-659537	20030910
WO 2004024731	A1	20040325	WO 2003-US28453	20030911

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

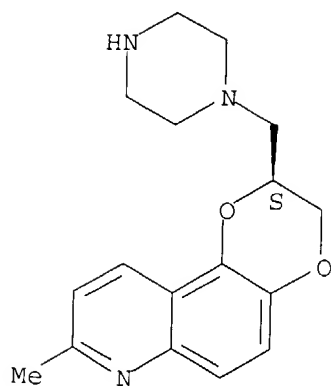
PRIORITY APPLN. INFO.: US 2002-410082P P 20020912
 US 2003-659537 A 20030910
 OTHER SOURCE(S): MARPAT 141:123658
 GI



I

AB The title compds. [I; R1 = H, halo, CN, carboxamido, etc.; XY = N:CR2CR3:N, N:CR2CR4:CH, N:CR2N:CH, N:CR2O, NHCR5:CH; R2, R3 = H, halo, NH2, mono- or dialkylamino, alkyl; R4 = H, alkyl; R5 = H, halo, CF3, pentafluoroethyl, alkyl; Ar = (un)substituted Ph, naphthyl, indolyl, indazolyl, thienyl, etc.; n = 1-2], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual

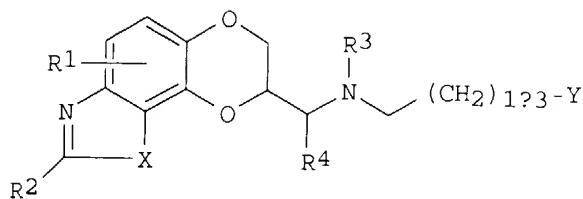
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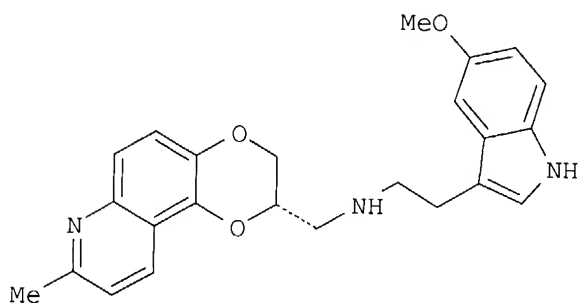
L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:570504 CAPLUS
DOCUMENT NUMBER: 141:123637
TITLE: A preparation of benzodioxanymethylamine derivatives,
useful as antidepressants
INVENTOR(S): Stack, Gary Paul; Webb, Michael Byron; Evrard, Deborah
Ann; Zhou, Dahui
PATENT ASSIGNEE(S): Wyeth, USA
SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S.
Provisional Ser. No. 410,347.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004138222	A1	20040715	US 2003-659238	20030910
US 6800637	B2	20041005		
PRIORITY APPLN. INFO.:			US 2002-410347P	P 20020912
OTHER SOURCE(S):				
GI				

MARPAT 141:123637



I



II

AB The invention relates to a preparation of benzodioxanylethylamine derivs. of formula I [wherein: X is O, N:CH, or CH:CH, etc.; Y is a derivative of indole, benzothiophene, or benzofuran, etc.; R1 is H, OH, halogen, CN, or carboxamido, etc.; R2 is H, halogen, NH2, mono- or dialkylamino, etc.; R3 and R4 are independently H or C1-6alkyl], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as pre-menstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses. High affinity for the serotonin 5-HT1A receptor was established by testing the ability to displace [3H]8-OHDPAT (dipropylaminotetralin) from the 5-HT1A serotonin receptor. Antagonist activity at 5-HT1A receptors was established by using 35S-GTPγS binding assay. For instance, IC50 for the prepared oxalate salt of II was 88.6 nM, Ki for 5-HT transporter and receptor affinities were 31 nM and 2.03 nM, resp. (example 1).

IT **460353-98-4P 475682-43-0P 475682-45-2P**

475682-46-3P 676122-82-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

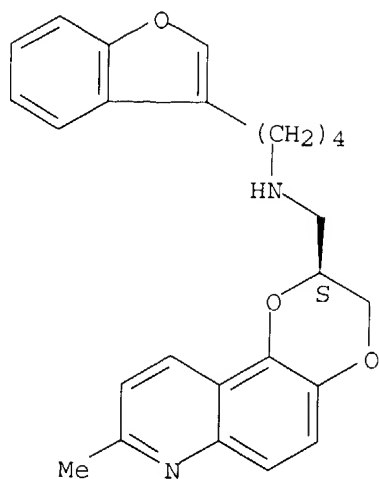
(intermediate; preparation of benzodioxanylethylamine derivs., useful as antidepressants)

RN 460353-98-4 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-, 4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

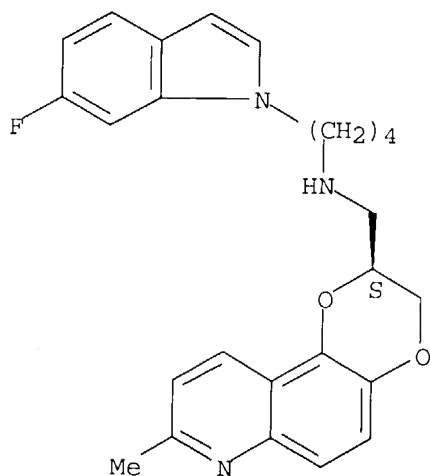
10/734,867



RN 724464-10-2 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[4-(6-fluoro-1H-indol-1-yl)butyl]-2,3-dihydro-8-methyl-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:550738 CAPLUS

DOCUMENT NUMBER: 141:89093

TITLE: Preparation of azaheterocyclylmethyl derivatives of heterocycle-fused benzodioxans as antidepressants

INVENTOR(S): Zhou, Dahui; Stack, Gary Paul

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Provisional Ser. No. 410,168.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

10/734,867

US 2004132714 A1 20040708 US 2003-659167 20030910
WO 2004024730 A1 20040325 WO 2003-US28413 20030911
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2002-410168P

P 20020912

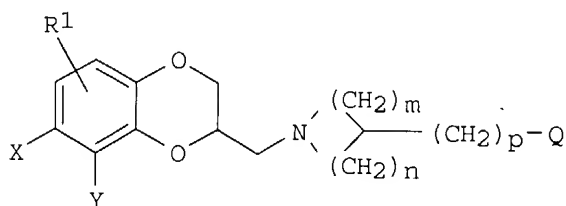
US 2003-659167

A 20030910

OTHER SOURCE(S):

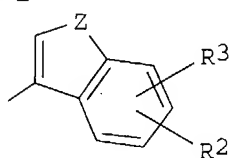
MARPAT 141:89093

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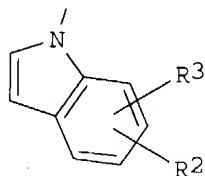


I

Q1=

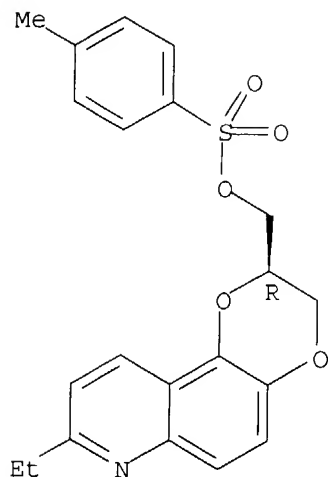


Q2=



AB (azaheterocyclylmethyl)heterocycle-fused benzodioxan derivs. [Q = Q1, Q2; R1, R2, R3, X, Y = H, HO, halo, cyano, carboxamido, C2-6 carboalkoxy, CF3, C1-6 alkyl, C1-6 alkoxy, C2-6 alkanoyl, C2-6 alkanoyloxy, amino, mono- or di(C1-6 alkyl)amino, C2-6 alkanamido, C1-6 alkanesulfonyl, C1-6 alkanesulfonamido; or X and Y, taken together, form -N:C(R4)C(R5):N-, -N:C(R4)C(R6):CH-, -N:C(R4)N:CH-, -N:C(R4)O-, -NHC(R7):N- or -NHC(R8):CH-; R4, R5 = H, halo, amino, mono- or di(C1-6 alkyl)amino, C1-6 alkyl; R8 = H, C1-6 alkyl; R7 = H, halo, CF3, pentafluoroethyl, amino, mono- or di(C1-6 alkyl)amino, C1-6 alkyl; R8 = H, halo, CF3, pentafluoroethyl, C1-6 alkyl; Z = O, S, or NR9 (R9 = H, C1-6 alkyl); n = an integer 0, 1, or 2; m = an integer from 1 to 4, provided that m+n≤4 and that when m = n = 2, and Q is Q2 then X and Y are not NH-C(R8):CH-; p = an integer from 1 to 3, provided that p+n = 2 or 3] or pharmaceutically acceptable salts thereof are prepared. These compds. inhibit serotonin reuptake and are antagonists of the 5HT1A receptor and are useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as pre-menstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses. Thus, a solution of (2R)-4-

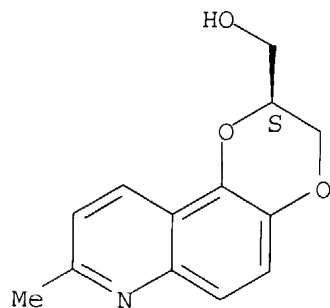
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RN 475682-45-2 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl-, (2S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:252518 CAPLUS

DOCUMENT NUMBER: 140:287398

TITLE: Preparation of antidepressant indolealkyl derivatives of heterocycle-fused benzodioxan methylamines as serotonin reuptake inhibitors and 5-HT1A receptor antagonists

INVENTOR(S): Stack, Gary Paul; Webb, Michael Byron; Evrard, Deborah Ann; Zhou, Dahui

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024734	A1	20040325	WO 2003-US28524	20030911
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,				

10/734,867

GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
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GW, ML, MR, NE, SN, TD, TG

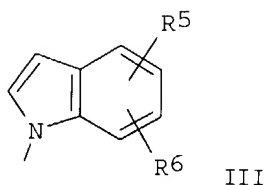
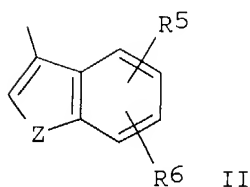
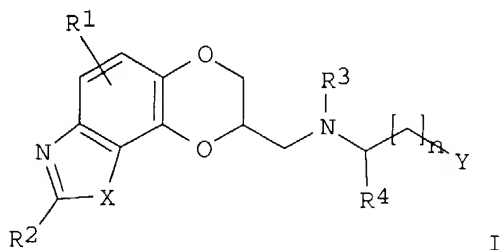
PRIORITY APPLN. INFO.:

US 2002-410347P P 20020912

OTHER SOURCE(S):

MARPAT 140:287398

GI

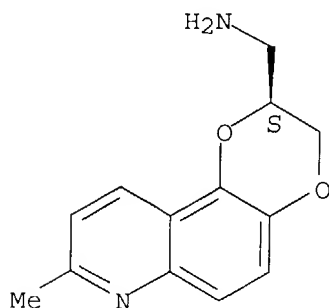


AB The title compds. [I; Y = II, III; X = O, N:CH, CR7:CH, CR7:N (wherein R7 = H, alkyl); Z = O, S, NR8 (R8 = H, alkyl); R1, R5, R6 = H, OH, halo, CN, etc.; R2 = H, halo, NH2, mono- or dialkylamino, alkyl; R3, R4 = H, alkyl; n = 1-3], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared. Thus, reacting (2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl 4-bromobenzenesulfonate with 2-(5-methoxy-1H-indol-3-yl)ethylamine in DMSO afforded (2S)-N-[2-(5-methoxy-1H-indol-3-yl)ethyl]-N-(8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl)amine. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

IT 676121-84-9P 676121-98-5P 676122-04-6P
676122-06-8P 676122-08-0P 676122-20-6P
676122-32-0P 676122-36-4P 676122-38-6P
676122-46-6P 676122-49-9P 676122-53-5P
676122-55-7P 676122-57-9P 676122-65-9P

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Absolute stereochemistry.



● 2 HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:252517 CAPLUS

DOCUMENT NUMBER: 140:287397

TITLE: Preparation of piperidine derivatives of heterocycle-fused benzodioxans as serotonin reuptake inhibitors and 5-HT1A receptors antagonists for treating depression

INVENTOR(S): Webb, Michael Byron; Stack, Gary Paul; Asselin, Magda; Evrard, Deborah Ann

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024733	A1	20040325	WO 2003-US28523	20030911
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

US 2004147523

A1 20040729

US 2003-659160

20030910

PRIORITY APPLN. INFO.:

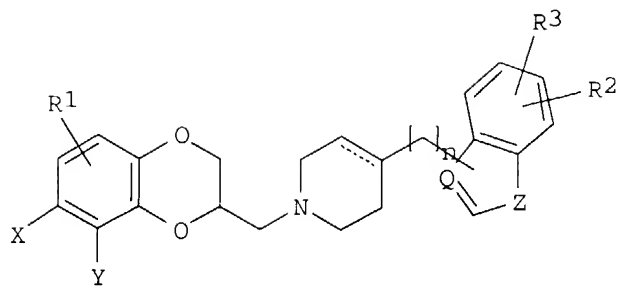
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P 20020912

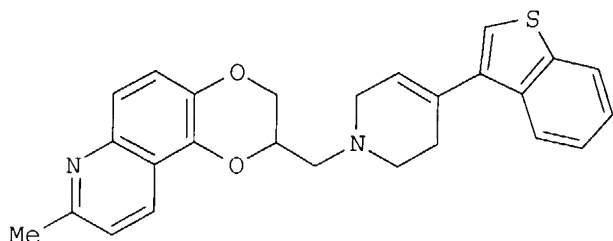
OTHER SOURCE(S):

MARPAT 140:287397

GI



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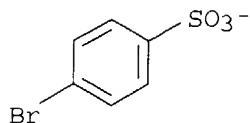


II

AB The title compds. (shown as I; variables defined below; e.g. II), useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared For I: R1, R2 and R3 = H, OH, halo, CN, carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyl, alkanoyloxy, NH2, mono- or dialkylamino, alkanamido, alkanesulfonyl or alkanesulfonamido; X, Y = H, OH, halo, CN, carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyl, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonyl or alkanesulfonamido, or X and Y, taken together, form -N:C(R4)-C(R5):N-, -N:C(R4)-C(R6):CH-, -N:C(R4)-N:CH-, -N:C(R4)-O-, -NH-C(R7):N- or -NH-C(R8):CH-; R4 and R5 = H, halo, amino, mono- or dialkylamino; R6 = H, alkyl; R7 = H, halo, CF3, pentafluoroethyl, amino, mono- or dialkylamino; R8 = H, halo, CF3, pentafluoroethyl, alkyl; the dotted line = an optional double bond; Z = O, S; Q = C, N; n = 0-1; addnl. details are given in the claims. Although the methods of preparation are not claimed, 14 example preps. are included. For example, II was prepared by reacting [(2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl 4-toluenesulfonate with 4-(benzo[b]thiophen-3-yl)-1,2,3,6-tetrahydropyridine in DMSO. The compds. I. were tested for serotonin transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors, and biol. data were given for all exemplified compds. The pharmaceutical composition comprising the compound I is claimed.

IT 675876-92-3P 675876-93-4P 675876-94-5P
 675876-95-6P 675876-97-8P 675877-02-8P
 675877-03-9P 675877-04-0P 675877-05-1P
 675877-06-2P 675877-16-4P 675877-17-5P
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 675877-21-1P 675877-22-2P 675877-23-3P,
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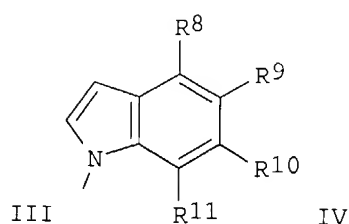
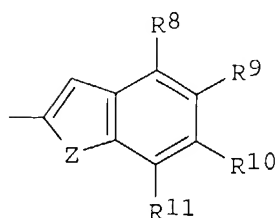
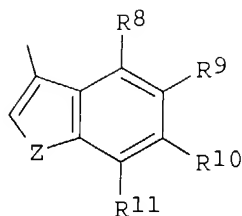
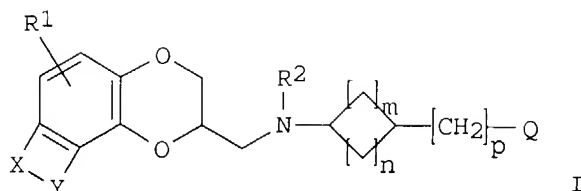
10/734,867



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:252516 CAPLUS
DOCUMENT NUMBER: 140:287396
TITLE: Preparation of antidepressant cycloalkylamine derivatives of heterocycle-fused benzodioxans
INVENTOR(S): Stack, Gary Paul; Evrard, Deborah Ann; Shah, Uresh Shantilal
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024732	A1	20040325	WO 2003-US28459	20030911
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004171667	A1	20040902	US 2003-659174	20030910
PRIORITY APPLN. INFO.:			US 2002-410072P	P 20020912
			US 2003-659174	A 20030910
OTHER SOURCE(S):	MARPAT 140:287396			
GI				



AB The title compds. [I; R1 = H, halo, CN, carboxamido, etc.; R2 = H, alkyl; XY = N:CR3CR4:N, NCR3CR5:CH, N:CR3N:CH, N:CR3O, NHCR6:N, NHCR7:CH; R3, R4 = H, halo, NH2, mono- or dialkylamino, alkyl; R5 = H, alkyl; R6 = H, halo, CF3, pentafluoroethyl, NH2, etc.; R7 = H, halo, CF3, pentafluoroethyl, alkyl; Q = II-IV (wherein Z = NR12, S, O; R8-R11 = H, OH, halo, CN, etc.; R12 = H, alkyl); m = 1-3; n = 1-2; p = 0-3] and their pharmaceutically acceptable salts, useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder; post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting toluene-4-sulfonic acid [(2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl)methyl ester with cis-3-(1H-indol-3-yl)cyclopentylamine in DMSO afforded 18% N-[(cis)-3-(1H-indol-3-yl)cyclopentyl]-N-{[(2S)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl)methyl}amine. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

IT 675879-31-9P 675879-32-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of antidepressant cycloalkylamine derivs. of heterocycle-fused benzodioxans)

RN 675879-31-9 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1R,3S)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

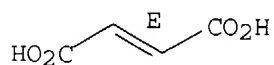
10/734,867

CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



IT 460354-01-2P

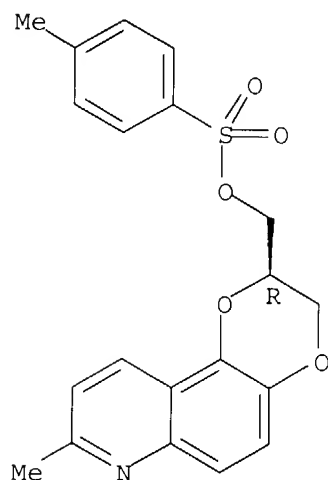
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of antidepressant cycloalkylamine derivs. of heterocycle-fused benzodioxans)

RN 460354-01-2 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl-, 4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:252515 CAPLUS

DOCUMENT NUMBER: 140:287410

TITLE: Preparation of antidepressant arylpiperazine derivatives of heterocycle-fused benzodioxans

INVENTOR(S): Evrard, Deborah A.; Zhou, Dahui; Stack, Gary Paul; Venkatesan, Arenapakam Madumbai; Failli, Amedeo A.; Croce, Susan Christman

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2

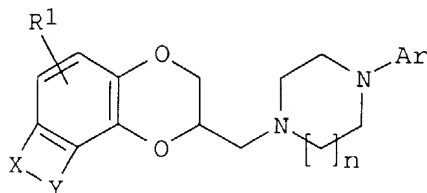
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024731	A1	20040325	WO 2003-US28453	20030911
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004142926	A1	20040722	US 2003-659537	20030910
PRIORITY APPLN. INFO.:			US 2002-410082P	20020912
			US 2003-659537	20030910
OTHER SOURCE(S):		MARPAT 140:287410		
GI				

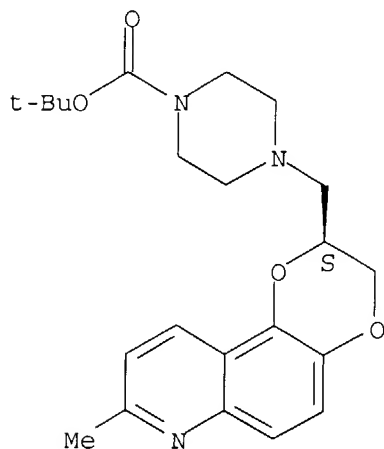


AB The title compds. [R1 = H, halo, CN, carboxamido, etc.; XY = N:CR2CR3:N, N:CR2CR4:CH, N:CR2N:CH, N:CR2O, NHCR5:CH; R2, R3 = H, halo, NH2, mono-or dialkylamino, alkyl; R4 = H, alkyl; R5 = H, halo, CF3, pentafluoroethyl, alkyl; Ar = (un)substituted Ph, naphthyl, indoleyl, indazolyl, thienyl, etc.; n = 1-2], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared. Thus, reacting [(2S)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl)methyl 4-bromobenzenesulfonate with 3-chlorophenylpiperazine.HCl in the presence of EtN(iso-Pr)2 in DMSO afforded 68% (2S)-2-{[4-(3-chlorophenyl)piperazin-1-yl)methyl}-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinoline. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

IT 676130-75-9P 676130-76-0P 676130-77-1P
 676130-78-2P 676130-79-3P 676130-80-6P
 676130-81-7P 676130-82-8P 676130-83-9P
 676130-84-0P 676130-85-1P 676130-86-2P
 676130-87-3P 676130-88-4P 676130-89-5P
 676130-90-8P 676130-91-9P 676130-92-0P
 676130-93-1P 676130-94-2P 676130-95-3P
 676130-96-4P 676130-97-5P 676130-98-6P
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10/734,867

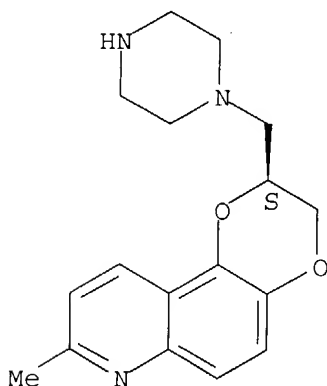
Absolute stereochemistry.



RN 676131-25-2 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-(1-piperazinylmethyl)-
, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:252514 CAPLUS

DOCUMENT NUMBER: 140:287395

TITLE: Preparation of antidepressant azaheterocyclylmethyl
derivs. of heterocycle-fused benzodioxans

INVENTOR(S): Zhou, Dahui; Stack, Gary Paul

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024730	A1	20040325	WO 2003-US28413	20030911

10/734,867

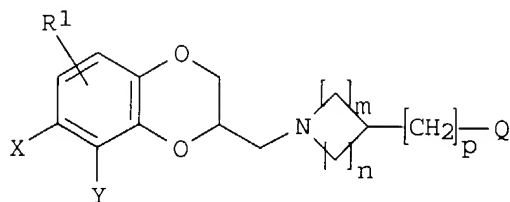
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

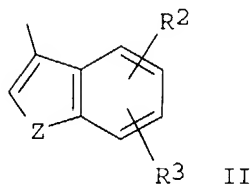
US 2004132714 A1 20040708 US 2003-659167
PRIORITY APPLN. INFO.: US 2002-410168P
US 2003-659167

20030910
P 20020912
A 20030910

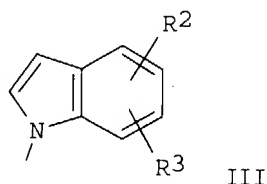
OTHER SOURCE(S): MARPAT 140:287395
GI



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II

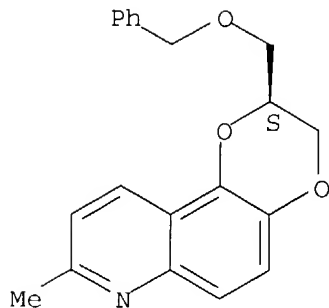


III

AB The title compds. [I; Q = II, III; R1-R3 = H, OH, halo, CN, carboxamido, etc.; X, Y = H, OH, halo, CN, etc.; or X and Y, taken together, form N:CR4CR5:N, N:CR4CR5:CH, N:CR4N:CH, N:CR4O, NHCR7:N, NHCR8:CH; R4, R5 = H, halo, NH2, mono- or dialkylamino, alkyl; R6 = H, alkyl; R7 = H, halo, CF3, etc.; R8 = H, halo, CF3, etc.; Z = O, S, NR9; R9 = H, alkyl; n = 0-2; m = 1-4 (with provisos); p = 1-3 (p+n = 2-3)], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting 4-bromobenzenesulfonic acid (2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl ester with 3-azetidin-3-ylmethyl-5-fluoro-1H-indole in the presence of Et3N in DMSO afforded (2S)-2-[3-(5-fluoro-1H-indol-3-ylmethyl)azetidin-1-ylmethyl]-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinoline. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

IT 676125-36-3P 676125-37-4P 676125-38-5P

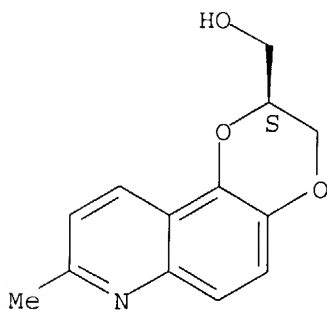
10/734,867



RN 475682-45-2 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl-, (2S)- (9CI)
(CA INDEX NAME)

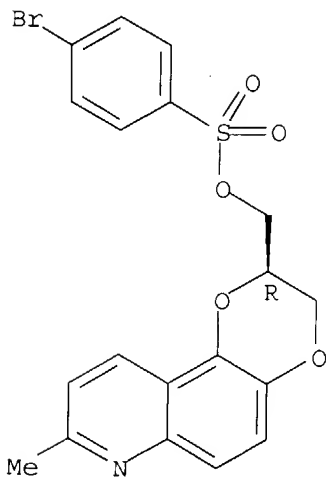
Absolute stereochemistry.



RN 475682-46-3 CAPLUS

CN Benzenesulfonic acid, 4-bromo-, [(2R)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

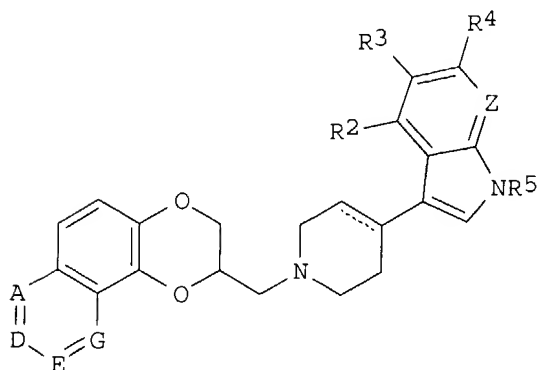
7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/734,867

ACCESSION NUMBER: 2002:888742 CAPLUS
DOCUMENT NUMBER: 137:384846
TITLE: Process for preparation of
indolylpyridinylmethyldioxinoquinolines and related
compounds
INVENTOR(S): Chan, Anita Wai-Yin; Curran, Timothy Thomas; Iera,
Silvio; Chew, Warren; Sellstedt, John Hamilton; Vid,
Galina; Feigelson, Gregg; Ding, Zhixian
PATENT ASSIGNEE(S): Wyeth, John and Brother Ltd., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

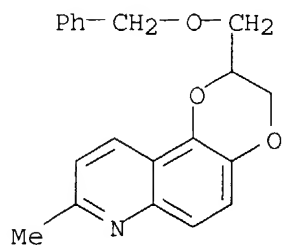
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092602	A2	20021121	WO 2002-US15097	20020514
WO 2002092602	A3	20030227		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2002187983	A1	20021212	US 2002-145369	20020514
US 6693197	B2	20040217		
EP 1387845	A2	20040211	EP 2002-736790	20020514
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2002009901	A	20040713	BR 2002-9901	20020514
JP 2004530693	T2	20041007	JP 2002-589486	20020514
US 2004186123	A1	20040923	US 2003-734867	20031212
PRIORITY APPLN. INFO.:			US 2001-291547P	P 20010517
			US 2002-145369	A3 20020514
			WO 2002-US15097	W 20020514
OTHER SOURCE(S):	CASREACT 137:384846; MARPAT 137:384846			
GI				



I

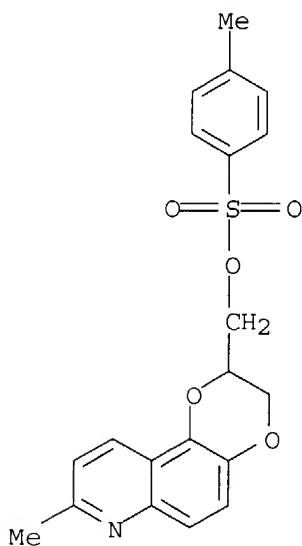
AB Title compds. [I; R1 = H, OH, halo, cyano, carboxamido, carboalkoxy, alkyl, alkanoyloxy, amino, mono- or dialkylamino, alkanamido,

10/734,867



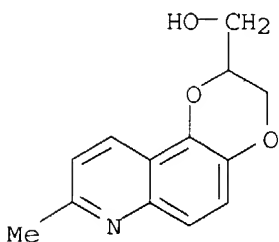
RN 475682-51-0 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl-,
4-methylbenzenesulfonate (ester) (9CI) (CA INDEX NAME)



RN 475682-52-1 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl- (9CI) (CA
INDEX NAME)



L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:849635 CAPLUS

DOCUMENT NUMBER: 137:353035

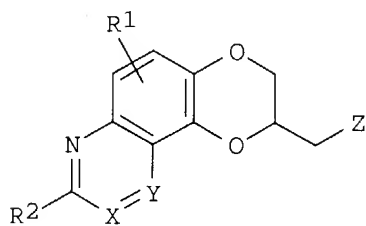
TITLE: Preparation of azaheterocyclylmethyl derivatives of
2,3-dihydro-1,4-dioxino[2,3-f]quinoline as 5-HT1A
antagonists

INVENTOR(S): Stack, Gary Paul; Tran, Megan; Gross, Jonathan Laird;
Husbands, George Edward Morris

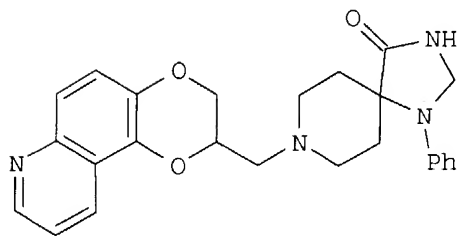
10/734,867

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088132	A1	20021107	WO 2002-US13029	20020425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002193366	A1	20021219	US 2002-131997	20020425
PRIORITY APPLN. INFO.:			US 2001-286567P	P 20010426
OTHER SOURCE(S):	MARPAT 137:353035			
GI				



I



II

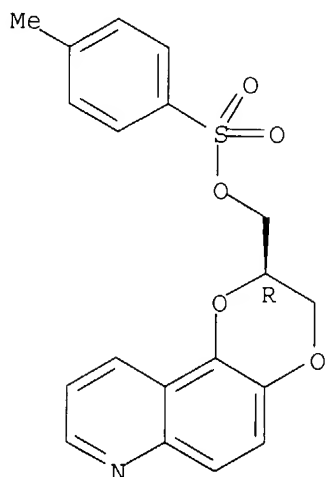
AB The title compds. [I; R¹ = H, halo, CN, etc.; R² = H, OH, halo, etc.; X = N, CR³; Y = N, CH; R³ = H, alkyl; Z = (un)substituted pyrrolidino, piperidino, morpholino, etc.], useful for the treatment of disorders, such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as appetite, thermoregulation, sleep and sexual behavior, were prepared E.g., a 9-step synthesis of (S)-II, starting from 5-nitroguaiacol and allyl bromide, which showed IC₅₀ of 1.44 nM when tested for 5-HT_{1A} receptor affinity, was given.

IT 474543-22-1P 474543-23-2P 474543-24-3P
474543-25-4P 474543-26-5P 474543-27-6P
474543-28-7P 474543-29-8P 474543-30-1P
474543-31-2P 474543-32-3P 474543-33-4P

10/734,867

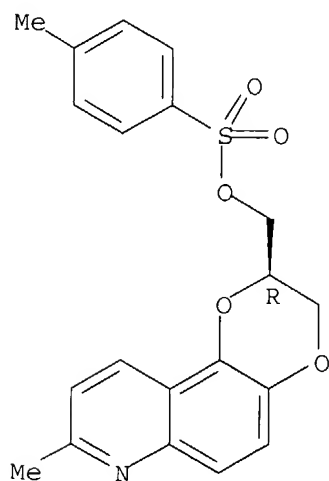
(preparation of azaheterocyclylmethyl derivs. of
2,3-dihydro-1,4-dioxino[2,3-
f]quinoline as 5-HT_{1A} antagonists)
RN 460353-98-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-, 4-
methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 460354-01-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl-,
4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

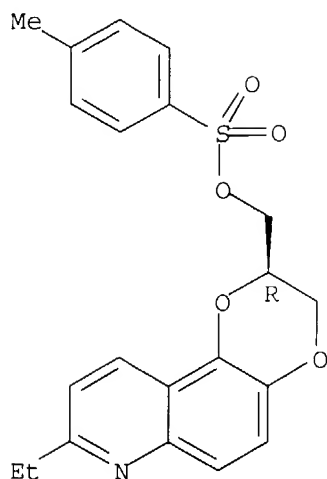
Absolute stereochemistry.



RN 460354-03-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 8-ethyl-2,3-dihydro-,
4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/734,867



L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:849633 CAPLUS

DOCUMENT NUMBER: 137:353033

TITLE: Preparation of azabicyclicmethyl derivatives of
2,3-dihydro-1,4-dioxino-[2,3-f]quinoline as 5-HT_{1A}
antagonists

INVENTOR(S): Stack, Gary Paul; Gilbert, Adam Matthew; Tran, Megan

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

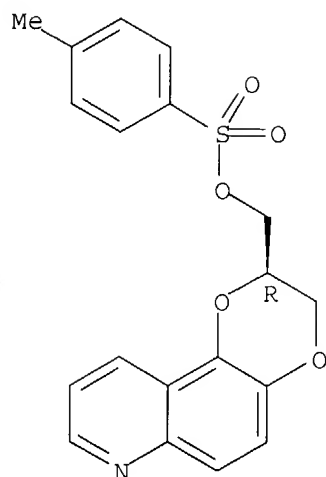
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

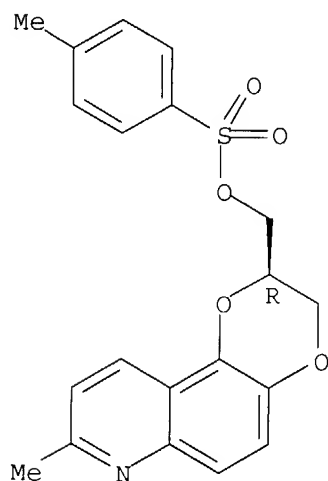
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088130	A1	20021107	WO 2002-US12953	20020425
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2002183322	A1	20021205	US 2002-131355	20020424
PRIORITY APPLN. INFO.:			US 2001-286576P	P 20010426
OTHER SOURCE(S):	MARPAT 137:353033			
GI				

10/734,867



RN 460354-01-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl-,
4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

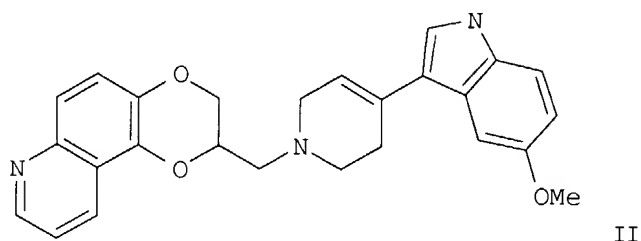
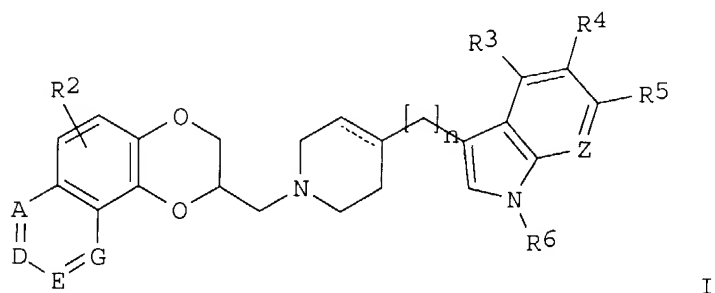
Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:716282 CAPLUS
DOCUMENT NUMBER: 137:247706
TITLE: Preparation of antidepressant azaheterocyclylmethyl
derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline
INVENTOR(S): Tran, Megan; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072587	A1	20020919	WO 2002-US7192	20020312
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 6458802	B1	20021001	US 2002-95505	20020312
US 2002165245	A1	20021107		
EP 1392697	A1	20040303	EP 2002-721325	20020312
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2003045542	A1	20030306	US 2002-228744	20020827
US 6599915	B2	20030729		
PRIORITY APPLN. INFO.:			US 2001-275564P	P 20010314
			US 2002-95505	A1 20020312
			WO 2002-US7192	W 20020312
OTHER SOURCE(S):	MARPAT 137:247706			
GI				



AB The title compds. [I; R1 = H, OH, halo, CN, etc.; R2-R5, R7 = H, OH, halo, etc.; R6 = H, alkyl; A, D = CR1, N (provided that at least one of A and D = N); E, G = CR1; Z = N, CR7; n = 0-2], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addition, sexual dysfunction and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl-4-methylbenzenesulfonate (multi-step preparation given) with 5-methoxy-3-(1,2,3,6-

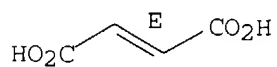
10/734,867

CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



IT 460353-98-4P 460354-01-2P 460354-03-4P
460354-04-5P 460354-07-8P 460354-09-0P
460354-10-3P

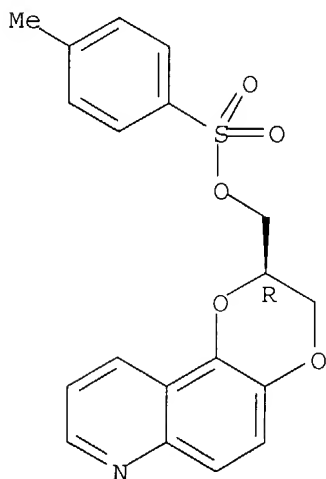
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of antidepressant azaheterocyclymethyl derivs. of
2,3-dihydro-1,4-dioxino[2,3-f]quinoline)

RN 460353-98-4 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-, 4-
methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

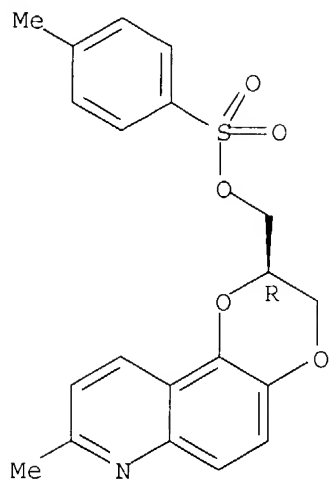


RN 460354-01-2 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl-,
4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

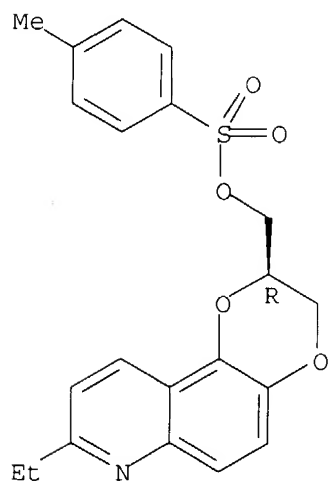
10/734,867



RN 460354-03-4 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 8-ethyl-2,3-dihydro-,
4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

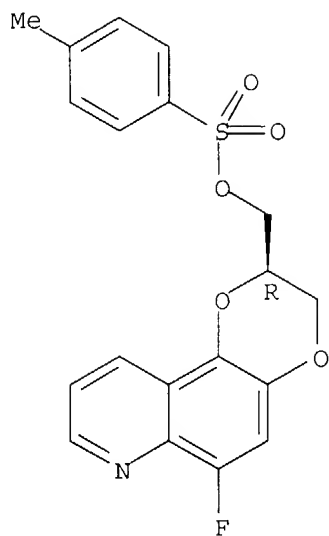


RN 460354-04-5 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 6-fluoro-2,3-dihydro-,
4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

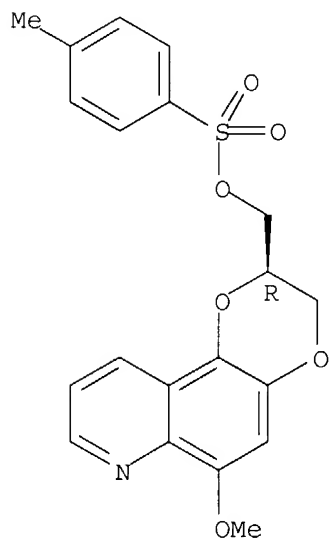
Absolute stereochemistry.

10/734,867



RN 460354-07-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-6-methoxy-,
4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

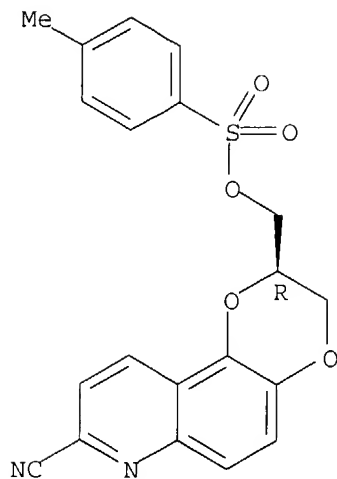
Absolute stereochemistry.



RN 460354-09-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-8-carbonitrile, 2,3-dihydro-2-[[[(4-
methylphenyl)sulfonyl]oxy]methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

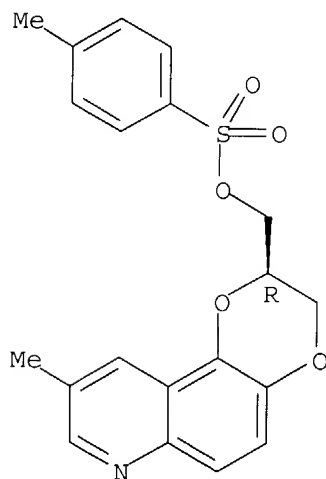
10/734,867



RN 460354-10-3 CAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-9-methyl-,
4-methylbenzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1982:52244 CAPLUS

DOCUMENT NUMBER: 96:52244

TITLE: Potential diuretic- β -adrenergic blocking agents:
synthesis of 3-[2-[(1,1-dimethylethyl)amino]-1-
hydroxyethyl]-1,4-dioxino[2,3-g]quinolines

AUTHOR(S): Willard, Alvin K.; Smith, Robert L.; Cragoe, Edward
J., Jr.

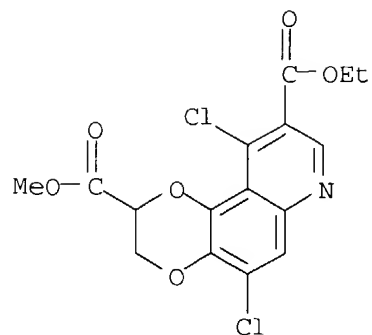
CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., West Point, PA,
19486, USA

SOURCE: Journal of Organic Chemistry (1981), 46(19), 3846-52
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

10/734,867



=> d his

(FILE 'HOME' ENTERED AT 15:49:52 ON 08 OCT 2004)

FILE 'REGISTRY' ENTERED AT 15:50:05 ON 08 OCT 2004

L1 STRUCTURE UPLOADED

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L3 377 S L1 FULL

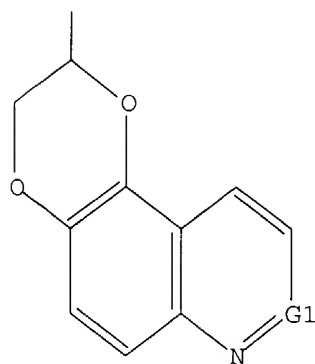
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L4 13 S L3

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

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